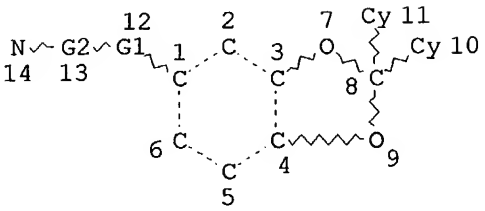
=> d l1
L1 HAS NO ANSWERS
L1 STR



VAR G1=C/S
REP G2=(0-1) N
NODE ATTRIBUTES:
NSPEC IS R AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

=> s l1 ful
FULL SEARCH INITIATED 11:20:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 116924 TO ITERATE

100.0% PROCESSED 116924 ITERATIONS 240 ANSWERS
SEARCH TIME: 00.00.10

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	156.68	156.89

FILE 'CAPLUS' ENTERED AT 11:20:16 ON 23 NOV 2004

FILE COVERS 1907 - 23 Nov 2004 VOL 141 ISS 22
FILE LAST UPDATED: 22 Nov 2004 (20041122/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

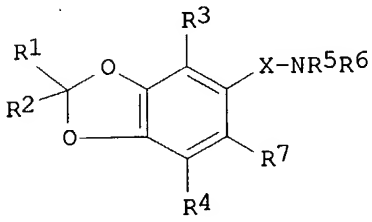
=> s l3
L4 3 L3

=> d bib abs 1-3

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:120841 CAPLUS
DN 140:163856
TI Preparation of benzodioxoles as CB1 receptor modulators for potential therapeutic use against obesity and other disorders
IN Alanine, Alexander; Beleicher, Konrad; Guba, Wolfgang; Haap, Wolfgang; Kuber, Dagmar; Luebbers, Thomas; Plancher, Jean-Marc; Rogers-Evans, Mark; Schneider, Gisbert; Zuegge, Jochen; Roche, Olivier
PA F.Hoffmann-La Roche AG, Switz.
SO PCT Int. Appl., 248 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004013120	A1	20040212	WO 2003-EP7890	20030718
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004142922	A1	20040722	US 2003-626681	20030724
PRAI	EP 2002-16831	A	20020729		

OS MARPAT 140:163856
GI

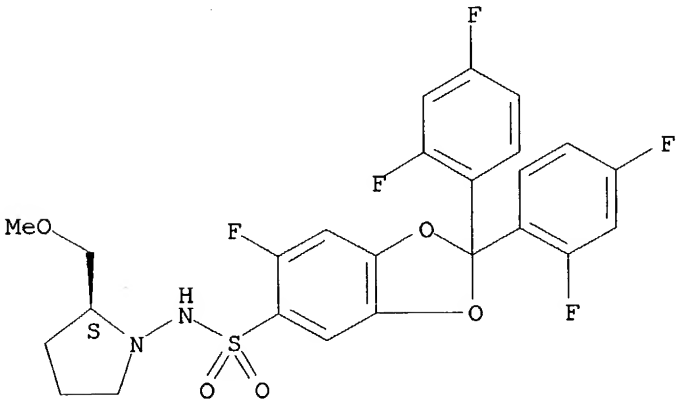


I

AB The present invention relates to benzodioxoles (shown as I; variables defined below; e.g. 1-[(2,2-diphenylbenzo[1,3]dioxol-5-yl)sulfonyl]piperidine) and pharmaceutically acceptable salts thereof. The compds. are useful for the treatment and/or prophylaxis of diseases (e.g. obesity (no data)) that are associated with the modulation of CB1 receptors. Cannabinoid CB1 antagonistic activity (IC50) of 12 examples of I is tabulated, e.g. <2 μM for 1-(2,4-dichlorophenyl)-4-(2,2-diphenylbenzo[1,3]dioxole-5-sulfonyl)piperazine. For I: R1 and R2 = unsubstituted Ph, or Ph which is mono, di- or trisubstituted, independently, by hydroxy, lower alkyl, lower alkoxy, perfluoro-lower alkyl, perfluoro-lower alkoxy, alkanoyl, cyano, nitro or halogen; or R1

IN 1,3-Benzodioxole-5-sulfonamide, 2,2-bis(2,4-difluorophenyl)-6-fluoro-N-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]- (9CI)
MF C25 H21 F5 N2 O5 S

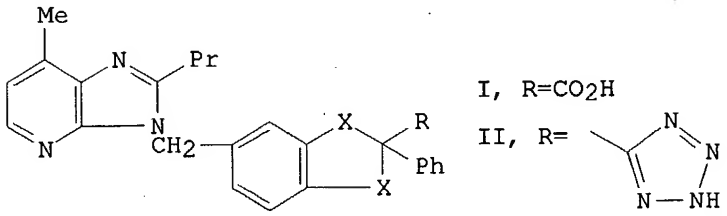
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

and R2 together with the C atom to which they are attached form a 10',11'-dihydro-2,5'-(5H)dibenzo[a,d]cycloheptene residue. R3 and R4 = H, halogen, hydroxy, lower alkyl, lower alkoxy, perfluoro-lower alkyl, alkanoyl or cyano; R5 is H, lower alkyl, lower alkylsulfonyl, cycloalkyl lower alkyl or hydroxy-lower alkyl. R6 is Y-R8, lower alkyl, lower alkoxy, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkylaminocarbonyl-lower alkyl, heterocyclyl, cycloalkyl, Ph or Ph lower alkyl; or R6 is H when X is -C(O)- or -SO2-; or R5 and R6 together with the N atom to which they are attached form a 4-7-membered monocyclic or a 8-, 9-, 10-, or 12-membered bicyclic, (un)saturated heterocyclic ring which may optionally contain one or two further heteroatoms = O, N and S, said heterocyclic ring being optionally mono, di- or trisubstituted, independently, by lower alkyl, lower alkoxycarbonyl, hydroxy lower alkyl, lower alkoxy-lower alkyl, di-lower alkylcarbamoyl, carbamoyl, lower alkylcarbonyl amino, oxo, dioxo, alkanoyl, amino lower alkyl, hydroxy, lower alkoxy, halogen, perfluoro-lower alkyl, cyano, heteroaryl, or by Ph or Ph lower alkyl. R7 is H, halogen, lower alkyl or cyano; R8 is Ph, cycloalkyl, heterocyclyl or heteroaryl; X is a single bond, -CH2-, -C(O)-, -SO2- or -SO2NH-; Y is -CH2-, -C(O)-, -NH- or -SO2-; addnl. details are given in the claims. Methods of preparation are claimed and >250 example prepns. are included. For example, 1-[(2,2-diphenylbenzo[1,3]dioxol-5-yl)sulfonyl]piperidine was prepared in 52% yield from 2,2-diphenylbenzo[1,3]dioxole-5-sulfonyl chloride and piperidine in CH2Cl2 in the presence of EtiPr2N. In another example, 1-[[2-(4-chlorophenyl)-2-(p-tolyl)benzo[1,3]dioxol-5-yl]sulfonyl]-4-(4-fluorophenyl)-1,2,3,6-tetrahydropyridine was prepared by cyclization of 4-chlorophenyl-4-methylphenyldichloromethane with 4-[[4-(4-fluorophenyl)-3,6-dihydro-2H-pyridin-1-yl]sulfonyl]benzene-1,2-diol.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:473343 CAPLUS
DN 121:73343
TI Substituted 1,3-benzodioxole- and 1,3-benzodithiole-2-carboxylates and their tetrazole analogs with potent binding affinity to the angiotensin II AT1 receptor
AU Rivero, R. A.; Greenlee, W. J.; Chang, R. S. L.
CS Dep. Explor. Chem., Merck Res. Lab., Rahway, NJ, 07065, USA
SO Bioorganic & Medicinal Chemistry Letters (1994), 4(5), 747-50
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
GI



I, R=CO_2H

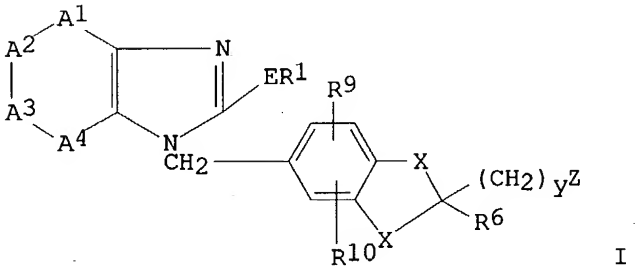
II, R=

AB Inspired by the modest success of a phenoxyphenylacetic acid biphenyl tetrazole replacement, 1,3-benzodioxole-2-carboxylates and 1,3-benzodithiole-2-carboxylates (I, R = O or S) and their resp. tetrazole analogs (II, R = O or S) were investigated as angiotensin II AT1 receptor antagonists. These compds., essentially conformationally restricted analogs of the phenoxyphenylacetic acid series, were quite potent in vitro.

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:408811 CAPLUS
DN 119:8811
TI Preparation of angiotensin II antagonists incorporating a substituted 1,3-benzodioxole or 1,3-benzodithiole
IN Greenlee, William J.; Rivero, Ralph A.
PA Merck and Co., Inc., USA
SO U.S., 23 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5187159	A	19930216	US 1991-773070	19911007
	CA 2079770	AA	19930408	CA 1992-2079770	19921002
	EP 543491	A2	19930526	EP 1992-309135	19921007
	EP 543491	A3	19930714		
	R: CH, DE, FR, GB, IT, LI, NL				
	JP 05239033	A2	19930917	JP 1992-293784	19921007
	JP 07005567	B4	19950125		
PRAI	US 1991-773070		19911007		

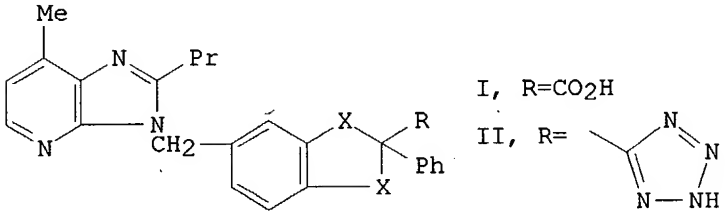
OS MARPAT 119:8811
GI



I

AB Title compds. I (R1 = (substituted) C1-6 alkyl, -C2-6 alkenyl, -C2-6 alkynyl, -aryl, -heteroaryl, C1-4 perfluoroalkyl, (C1-4 alkyl)-C3-8 cycloalkyl; A1-A4 = CR4:CR4CR4:CR4, CR4:CR4CR4:N, N:CR4C'R4:CR4, CR4:NCR4:CR4, CR4:CR4N:CR4, etc. wherein R4 = H, (substituted) alkyl, -C2-6 alkenyl, -C1-6 alkynyl, aroyl, C3-7 cycloalkyl, halo, F3C, HO3S, etc.; R6 = H, C1-4 alkyl, (substituted) Ph, -naphthyl; R9, R10 = H, halo, O2N, C1-8 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkoxy; E = bond, O, S(O)n(CH2)s wherein n = 0-2; s = 0-5; y = 0, 1; X = O, S; Z = HO2C, 5-tetrazolyl, F3CO2SNH, 5-tetrazolylcarboxamide, etc.) or a salt thereof, showing antidepressant, enhancement of cognitive function, anxiolytic, antipsydiotic, and antihypertensive activity, are prepared Et benzylformate, PCl5 and 4-methycatechol were reacted to Et 5-methyl-2-phenyl-1,3-benzodioxole-2-carboxylate which converted to the 5-bromomethyl derivative reacted with 2-butylbenzimidazole and the product treated with NaOH to give I (R1 = Bu, A1 - A4 = CH:CHCH:CH, E = bond, R6 = R9 = R10 = H, X = O, y = 0, Z = HO2C).

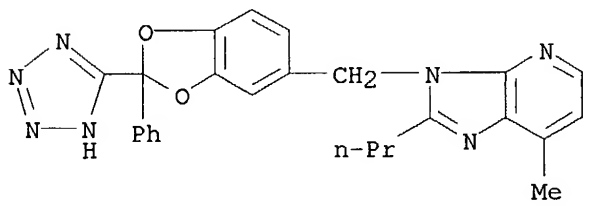
L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:473343 CAPLUS
DN 121:73343
TI Substituted 1,3-benzodioxole- and 1,3-benzodithiole-2-carboxylates and their tetrazole analogs with potent binding affinity to the angiotensin II AT1 receptor
AU Rivero, R. A.; Greenlee, W. J.; Chang, R. S. L.
CS Dep. Explor. Chem., Merck Res. Lab., Rahway, NJ, 07065, USA
SO Bioorganic & Medicinal Chemistry Letters (1994), 4(5), 747-50
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
GI



AB Inspired by the modest success of a phenoxyphenylacetic acid biphenyl tetrazole replacement, 1,3-benzodioxole-2-carboxylates and 1,3-benzodithiole-2-carboxylates (I, R = O or S) and their resp. tetrazole analogs (II, R = O or S) were investigated as angiotensin II AT1 receptor antagonists. These compds., essentially conformationally restricted analogs of the phenoxyphenylacetic acid series, were quite potent in vitro.

IT **147805-25-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and angiotensin II receptor binding activity of)

RN 147805-25-2 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 7-methyl-3-[[2-phenyl-2-(1H-tetrazol-5-yl)-1,3-benzodioxol-5-yl]methyl]-2-propyl- (9CI) (CA INDEX NAME)

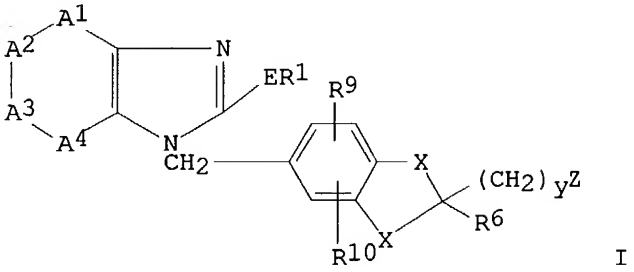


L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:408811 CAPLUS
DN 119:8811
TI Preparation of angiotensin II antagonists incorporating a substituted 1,3-benzodioxole or 1,3-benzodithiole
IN Greenlee, William J.; Rivero, Ralph A.
PA Merck and Co., Inc., USA
SO U.S., 23 pp.
CODEN: USXXAM
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5187159	A	19930216	US 1991-773070	19911007
	CA 2079770	AA	19930408	CA 1992-2079770	19921002
	EP 543491	A2	19930526	EP 1992-309135	19921007
	EP 543491	A3	19930714		
	R: CH, DE, FR, GB, IT, LI, NL				
	JP 05239033	A2	19930917	JP 1992-293784	19921007
	JP 07005567	B4	19950125		
PRAI	US 1991-773070		19911007		

OS MARPAT 119:8811
GI



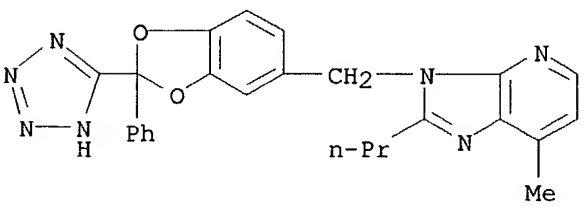
I

AB Title compds. I (R1 = (substituted) C1-6 alkyl, -C2-6 alkenyl, -C2-6 alkynyl, -aryl, -heteroaryl, C1-4 perfluoroalkyl, (C1-4 alkyl)-C3-8 cycloalkyl; A1-A4 = CR4:CR4CR4:CR4, CR4:CR4CR4:N, N:CR4C'R4:CR4, CR4:NCR4:CR4, CR4:CR4N:CR4, etc. wherein R4 = H, (substituted) alkyl, -C2-6 alkenyl, -C1-6 alkynyl, aroyl, C3-7 cycloalkyl, halo, F3C, HO3S, etc.; R6 = H, C1-4 alkyl, (substituted) Ph, -naphthyl; R9, R10 = H, halo, O2N, C1-8 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkoxy; E = bond, O, S(O)n(CH2)s wherein n = 0-2; s = 0-5; y = 0, 1; X = O, S; Z = HO2C, 5-tetrazolyl, F3CO2SNH, 5-tetrazolylcarboxamide, etc.) or a salt thereof, showing antidepressant, enhancement of cognitive function, anxiolytic, antipsydiotic, and antihypertensive activity, are prepared Et benzylformate, PCl5 and 4-methycatechol were reacted to Et 5-methyl-2-phenyl-1,3-benzodioxole-2-carboxylate which converted to the 5-bromomethyl derivative reacted with 2-butylbenzimidazole and the product treated with NaOH to give I (R1 = Bu, A1 - A4 = CH:CHCH:CH, E = bond, R6 = R9 = R10 = H, X = O, y = 0, Z = HO2C).

IT **147805-25-2P 147805-29-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as angiotensin II antagonist)

RN 147805-25-2 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine, 7-methyl-3-[[2-phenyl-2-(1H-tetrazol-5-yl)-1,3-benzodioxol-5-yl]methyl]-2-propyl- (9CI) (CA INDEX NAME)



RN 147805-29-6 CAPLUS
CN 3H-Imidazo[4,5-b]pyridine, 7-methyl-3-[[2-(4-methylphenyl)-2-(1H-tetrazol-5-yl)-1,3-benzodioxol-5-yl]methyl]-2-propyl- (9CI) (CA INDEX NAME)